

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
19 May 2005 (19.05.2005)

PCT

(10) International Publication Number
WO 2005/044256 A1

(51) International Patent Classification⁷: A61K 31/351, C07D 205/08, 405/10, 405/12, 409/10, 409/12, C07H 15/26, A61P 3/06

(21) International Application Number:
PCT/US2004/035845

(22) International Filing Date: 27 October 2004 (27.10.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/515,842 30 October 2003 (30.10.2003) US

(71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **SINGS, Heather, I.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **UJJAINWALLA, Feroze** [GB/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **MACCOSS, Malcolm** [GB/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **MYERS, Robert, W.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

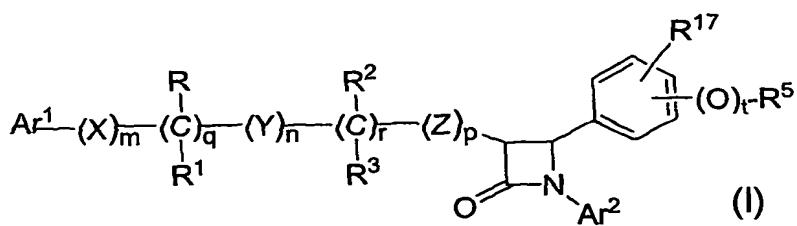
Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2005/044256 A1

(54) Title: 2-AZETIDINONES AS ANTI-HYPERCHOLESTOLEMIC AGENTS



(57) **Abstract:** The instant invention provides novel cholesterol absorption inhibitors of Formula I and the pharmaceutically acceptable salts and esters thereof. The compounds are useful for lowering plasma cholesterol levels, particularly LDL cholesterol, and for treating and preventing atherosclerosis and atherosclerotic disease events.